#### In the Claims:

The current status of all claims is listed below and supercedes all previous lists of claims.

Please cancel claim 6 without prejudice to its presentation in another application, and amend claims 9-11 as follows.

#### 1. (original) A compound of formula I

wherein

the dashed line is absent or represents a bond;

A represents C(O),  $S(O)_2$ , C(O)O (in which latter group the O moiety is attached to  $R^1$ ), C(O)NH,  $S(O)_2NH$  (in which latter two groups the NH moiety is attached to  $R^1$ ) or  $C_{1-6}$  alkylene;

## R<sup>1</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, CN,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy and aryl),  $OR^{4a}$ ,  $S(O)_nR^{4b}$ ,  $S(O)_2N(R^{4c})(R^{4d})$ ,  $N(R^{4e})S(O)_2R^{4f}$ ,  $N(R^{4g})(R^{4h})$ ,  $B^1$ -C(O)- $B^2$ - $R^{4i}$ , aryl and  $Het^1$ ),
- (b)  $C_{3-10}$  cycloalkyl or  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo, =O, CN,  $C_{1-10}$  alkyl,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy and aryl),  $OR^{4a}$ ,  $S(O)_nR^{4b}$ ,  $S(O)_2N(R^{4c})(R^{4d})$ ,  $N(R^{4e})S(O)_2R^{4f}$ ,

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 $N(R^{4g})(R^{4h})$ ,  $B^3$ -C(O)- $B^4$ - $R^{4i}$ , aryl and  $Het^2$ ,

- (c) aryl, or
- (d) Het<sup>3</sup>;

R<sup>4a</sup> to R<sup>4i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, aryl and  $Het^4$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, aryl and  $Het^5$ ),
- (d) aryl or
- (e) Het<sup>6</sup>,

provided that R<sup>4b</sup> does not represent H when n is 1 or 2;

the group -D-E-

- (a) when the dashed line represents a bond, represents  $-C(R^{5a})=C(R^{5b})$ -, or
- (b) when the dashed line is absent, represents  $-C(R^{6a})(R^{6b})-C(R^{7a})(R^{7b})$ -;

 $R^{5a}$  and  $R^{5b}$  independently represent H, halo, OH,  $C_{1-4}$  alkyl,  $(CH_2)_{0-4}O(C_{1-3}$  alkyl) (which latter two groups are optionally substituted by one OH group or one or more F atoms);

 $R^{6a}$ ,  $R^{6b}$ ,  $R^{7a}$  and  $R^{7b}$  independently represent H, F or methyl;

or  $R^{5a}$  and  $R^{5b}$  together represent  $C_{2-4}$  n-alkylene;

or one of  $R^{6a}$  and  $R^{6b}$ , together with one of  $R^{7a}$  and  $R^{7b}$ , represents  $C_{1-4}$  n-alkylene;

# R<sup>2</sup> represents

- (a) H,
- (b) halo;
- (c)  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{1-6}$  alkoxy (which latter four groups are optionally substituted by one or more substituents selected from halo, OH, CN,  $C_{1-4}$  alkoxy,

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C(O)OH,  $C(O)O-C_{1-4}$  alkyl and  $OC(O)-C_{1-4}$  alkyl) or

- (d) together with  $R^{3a}$ ,  $R^2$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (e) together with  $R^{3a}$  and  $R^{3b}$ ,  $R^2$  represents  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

 $R^{3a}$  and  $R^{3b}$  independently represent H, F or methyl (which latter group is optionally substituted by one or more F atoms), or

- (a) together with  $R^2$ ,  $R^{3a}$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (b) together with  $R^2$ ,  $R^{3a}$  and  $R^{3b}$  represent  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

 $T^1$  and  $T^2$  independently represent O, S, N(H) or N(C<sub>1-4</sub> alkyl);

G represents

(a)  $-C(O)N(R^{8a})-[CH(C(O)R^9)]_{0-1}-C_{0-3}$  alkylene- $(Q^1)_{a^-}$ ,

(b)  $-C(O)N(R^{8b})-C_{2-3}$  alkenylene- $(Q^1)_{a-}$ ,

(c)

$$[N(R^{8c})C_{0-2} \text{ alkylene}]_{\overline{0-1}}Q^{2a}$$

(d)

$$\begin{array}{c} O - N \\ N \end{array} (CH_2)_{0-4} \end{array}$$

 $R^9$  represents H or a 5- to 10-membered aromatic heterocyclic group comprising one or two rings and containing, as heteroatom(s), one sulfur or oxygen atom and/or one or more nitrogen atoms, which heterocyclic group is optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl;

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 $Q^{1} \ represents \ O, \ NR^{10a}, \ [N(H)]_{0\text{--}1}C(O) - C_{0\text{--}2} \ alkylene, \ C(O)NHNHC(O), \ or \ -N=C(R^{10b}) -;$ a represents 0 or 1;

Q<sup>2a</sup> represents

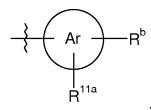
Q<sup>2b</sup> represents

$$CH$$
 or  $N$ 

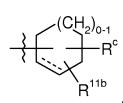
L represents

- (a) C<sub>0-6</sub> alkylene-R<sup>a</sup>,
- (b) C<sub>0-2</sub> alkylene-CH=CH-C<sub>0-2</sub> alkylene-R<sup>a</sup>,
- (c)  $C_{0-2}$  alkylene- $C \equiv C C_{0-2}$  alkylene- $R^a$ ,

(d)

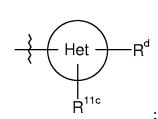


(e)



wherein the dashed line represents an optional double bond, or

(f)



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Ar represents phenyl or naphthyl;

Het represents a 5- to 10-membered heterocyclic group comprising one or two rings and containing, as heteroatom(s), one sulfur or oxygen atom and/or one or more nitrogen atoms;

 $R^{11a}$  represents H or one or more substituents selected from halo, OH, CN,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-4}$  alkoxy,  $C(O)OR^{12a}$  and  $C(O)N(R^{12b})R^{12c}$ ) and  $S(O)_{0-2}R^{12d}$ ;

 $R^{11b}$  and  $R^{11c}$  independently represent H or one or more substituents selected from halo, OH, CN,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-4}$  alkoxy,  $C(O)OR^{12a}$  and  $C(O)N(R^{12b})R^{12c}$ ),  $S(O)_{0-2}R^{12d}$ , =O, =NH, =NOH and =N-CN;

 $R^{12a} \ to \ R^{12c} \ independently \ represent \ H, \ C_{1-6} \ alkyl \ or \ C_{3-7} \ cycloalkyl \ (which \ latter \ two \ groups \ are optionally \ substituted \ by \ one \ OH \ or \ N(R^{12e})R^{12f} \ group \ or \ by \ one \ or \ more \ halo \ atoms);$ 

 $R^{12d}$  represents, independently at each occurrence,  $C_{1-6}$  alkyl optionally substituted by one OH or  $N(R^{12e})R^{12f}$  group or by one or more halo atoms;

 $R^{12e}$  and  $R^{12f}$  represent, independently at each occurrence, H or  $C_{1-4}$  alkyl optionally substituted by one or more halo atoms;

Ra to Rd independently represent

(a)

$$\xrightarrow{\mathsf{N}} (\mathsf{Q}^3)_{\mathsf{a}} \xrightarrow{\mathsf{N}} \overset{\mathsf{N}}{\underset{\mathsf{H}}{\bigvee}} \mathsf{R}^{13\mathsf{a}}$$

(b)

(c)

$$\xrightarrow{}$$
 C<sub>0-3</sub> alkylene-N $\xrightarrow{R^{14c}}$ 

(d)

(e)

(f)

(g) Het<sup>x</sup>

or R<sup>b</sup> to R<sup>d</sup> may also represent H;

 $Q^3$  represents O,  $N(R^{10c}),\,S(O)_2,\,S(O)_2NH,\,C(O)$  or -CH=N-;

Q<sup>4</sup> represents O, S or CH<sub>2</sub>;

a represents 0 or 1;

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Het<sup>x</sup> represents a 5- or 6-membered heterocyclic group containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic group may be substituted by one or more substituents selected from halo, =0,  $C_{1-6}$  alkyl and  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more halo atoms);

 $R^{13a}$  to  $R^{13c}$  independently represent

- (a) H,
- (b) CN,
- (c) NH<sub>2</sub>,
- (d)  $OR^{15}$  or
- (e) C(O)OR<sup>16</sup>;

R<sup>15</sup> represents

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl,
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl, or
- (d)  $C_{1-3}$  alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

# R<sup>16</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl, which latter three groups are optionally interrupted by one or more oxygen atoms, or
- (b)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl, or
- (c) C<sub>1-3</sub> alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

 $R^{8a}$  to  $R^{8c}$ ,  $R^{10a}$  to  $R^{10c}$  and  $R^{14a}$  to  $R^{14g}$  independently represent

- (a) H or
- (b)  $C_{1\text{--}4}$  alkyl (which latter group is optionally substituted by one or more substituents

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selected from halo and OH),

or  $R^{14a}$  and  $R^{14b}$  independently represent  $C(O)O-C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms), or  $R^{14c}$  represents

- (a)  $C_{1-4}$  alkyl substituted by  $C_{3-7}$  cycloalkyl or aryl,
- (b) C<sub>3-7</sub> cycloalkyl,
- (c)  $C(O)O-C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),
- (d)  $C(O)C_{1-6}$  alkyl,
- (e)  $C(O)N(H)-C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms) or
- (f)  $S(O)_2$ - $C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),

or  $R^{14c}$  and  $R^{14d}$  together represent  $C_{3-6}$  n-alkylene optionally interrupted by O, S, N(H) or N(C<sub>1-4</sub> alkyl) and/or substituted by one or more  $C_{1-4}$  alkyl groups;

each aryl independently represents a  $C_{6-10}$  carbocyclic aromatic group, which group may comprise either one or two rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH,  $C(O)O-C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and  $Het^7$ ),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>8</sup>),
- (e)  $OR^{17a}$ .
- (f)  $S(O)_p R^{17b}$ ,
- (g)  $S(O)_2N(R^{17c})(R^{17d})$ ,

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- (h)  $N(R^{17e})S(O)_2R^{17f}$ ,
- (i)  $N(R^{17g})(R^{17h})$ ,
- (j)  $B^5-C(O)-B^6-R^{17i}$ ,
- (k) phenyl (which latter group is optionally substituted by halo),
- (1) Het<sup>9</sup> and
- (m)Si $(R^{18a})(R^{18b})(R^{18c});$

R<sup>17a</sup> to R<sup>17i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{10}$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{11}$ ),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>12</sup>,

provided that R<sup>17b</sup> does not represent H when p is 1 or 2;

Het<sup>1</sup> to Het<sup>12</sup> independently represent 4- to 14-membered heterocyclic groups containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may comprise one, two or three rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter four groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH, C(O)O- $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>a</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl

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(which latter group is optionally substituted by halo) and Het<sup>b</sup>),

- (e) = 0,
- (f) OR<sup>19a</sup>.
- (g)  $S(O)_{q}R^{19b}$ ,
- (h)  $S(O)_2N(R^{19c})(R^{19d})$ ,
- (i)  $N(R^{19e})S(O)_2R^{19f}$ ,
- (j)  $N(R^{19g})(R^{19h})$ ,
- (k)  $B^7$ -C(O)- $B^8$ - $R^{19i}$ ,
- (l) phenyl (which latter group is optionally substituted by halo),
- (m)Het<sup>c</sup> and
- (n)  $Si(R^{20a})(R^{20b})(R^{20c})$ ;

 $\boldsymbol{R}^{19a}$  to  $\boldsymbol{R}^{19i}$  independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^d$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^e$ ),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>f</sup>,

provided that R<sup>19b</sup> does not represent H when q is 1 or 2;

Het a to Het independently represent 5- or 6-membered heterocyclic groups containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may be substituted by one or more substituents selected from halo, =O and  $C_{1-6}$  alkyl;

B<sup>1</sup> to B<sup>8</sup> independently represent a direct bond, O, S or NH; n, p and q independently represent 0, 1 or 2;

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 $R^{18a},\,R^{18b},\,R^{18c},\,R^{20a},\,R^{20b} \text{ and } R^{20c} \text{ independently represent } C_{1\text{-}6} \text{ alkyl or phenyl (which latter group is optionally substituted by halo or } C_{1\text{-}4} \text{ alkyl)};$ 

unless otherwise specified

- (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkylene and alkenylene groups, as well as the alkyl part of alkoxy groups, may be substituted by one or more halo atoms, and
- (ii) cycloalkyl and cycloalkenyl groups may comprise one or two rings and may additionally be ring-fused to one or two phenyl groups;

or a pharmaceutically-acceptable derivative thereof.

2. (original) A compound as claimed in Claim 1, which is a compound of formula Ic, Id or Ie,

$$\begin{array}{c|c}
R^{2} & R^{3a} & R^{3b} \\
N & (CH_{2})_{r} & R^{x}
\end{array}$$
Ic
$$\begin{array}{c|c}
R^{y} & Ic
\end{array}$$

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$$R^{2} R^{3a} R^{3b} H (CH_{2})_{t} N - R^{13b}$$
 $R^{2} R^{3a} R^{3b} H (CH_{2})_{t} N - R^{13b}$ 
 $R^{2} R^{3a} R^{3b} R^{3b} H (CH_{2})_{t} N - R^{13b}$ 
 $R^{2} R^{3a} R^{3b} R^{3b} H (CH_{2})_{t} N - R^{13b}$ 
 $R^{2} R^{3a} R^{3b} R^{3b} R^{3b}$ 
 $R^{2} R^{3a} R^{3b} R^{3b} R^{3b}$ 
 $R^{2} R^{3a} R^{3b}$ 

wherein X<sup>1</sup> represents CH or N;

when X<sup>1</sup> represents CH

- (a)  $R^x$  represents  $R^b$  as defined in Claim 1, and
- (b) R<sup>y</sup> represents R<sup>11a</sup> as defined in Claim 1;

when X<sup>1</sup> represents N

- (a) R<sup>x</sup> represents R<sup>d</sup> as defined in Claim 1, and
- (b)  $R^y$  represents  $R^{11c}$  as defined in Claim 1;

r represents 1 to 3;

s represents 2 to 4;

t represents 1 to 3;

u and v independently represent 0 to 2, the sum of u and v being 1 or 2; and  $R^1$ ,  $R^2$ ,  $R^{3a}$ ,  $R^{3b}$ ,  $R^{11a}$ ,  $R^{11c}$ ,  $R^{13a}$ ,  $R^{13b}$ ,  $R^{14a}$ ,  $R^{14b}$ ,  $R^b$ ,  $R^d$  and A are as defined in Claim 1.

3. (original) A compound as claimed in Claim 2 which is a compound of formula Ic,

$$\begin{array}{c|c} R^2 & R^{3a} & R^{3b} & H \\ \hline N & (CH_2)_r & R^x \\ \hline R^y & Ic \\ R^1 & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z & R^z & R^z \\ \hline R^z & R^z \\ \hline R^z & R^z & R^z \\ \hline R^z &$$

wherein

A represents  $CH(CH_3)CH_2$  (in which latter group the  $CH(CH_3)$  unit is attached to  $R^1$ ) or  $CH_2$ ,  $(CH_2)_2$  or  $CF_2CH_2$  (in which latter group the  $CF_2$  unit is attached to  $R^1$ );

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## R<sup>1</sup> represents

- (a) isopropyl or tert-butyl,
- (b) cyclopentyl, cyclohexyl or bicyclo[2.2.1]hept-5-ene,
- (c) phenyl optionally substituted by one or two substituents selected from halo, CN, methyl, CF<sub>3</sub>, methoxy, OCF<sub>3</sub>, phenoxy, morpholin-4-yl or O-CH<sub>2</sub>-(2-chlorothiazol-5-yl),
- (d) imidazolyl optionally substituted by one to three substituents selected from Cl, methyl and phenyl,
- (e) isoxazolyl optionally substituted by one or two substituents selected from methyl, phenyl and 2-thienyl,
- (f) thiazolyl optionally substituted by one or two methyl groups,
- (g) thienyl optionally substituted by Cl or pyridinyl,
- (h) pyrazolyl optionally substituted by one to three substituents selected from Cl, methyl, ethyl, phenyl and morpholin-4-yl,
- (i) pyrrolyl optionally substituted by one to three substituents selected from methyl,  $S(O)_2$ -phenyl, C(O)-phenyl and 1,3,4-triazol-1-yl,
- (j) pyridinyl optionally substituted by OH, methoxy or morpholin-4-yl, and optionally in the form of an *N*-oxide,
- (k) pyridonyl,
- (l) pyrazinyl,
- (m) benzodioxolyl optionally substituted by halo,
- (n) benzomorpholinyl optionally substituted by methyl;
- (o) 2,1,3-benzoxadiazolyl,
- (p) 2,3-dihydrobenzofuranyl or
- (q) quinolinyl;

R<sup>5</sup> and R<sup>6</sup> both represent H;

r represents 1;

the group

$$R^{y}$$

represents

R<sup>o</sup> represents H, F, Cl, OH, methyl, tetrazol-1-yl, OCH<sub>2</sub>C(O)N(H)R<sup>12b</sup> or CH<sub>2</sub>N(H)R<sup>14c</sup>;

 $R^{12b}$  represents H or  $C_{1-3}$  alkyl optionally substituted by  $N(CH_3)_2$ ;

R<sup>14c</sup> represents C(O)O-tert-butyl, H, ethyl, CH<sub>2</sub>CF<sub>3</sub> or cyclopentyl;

R<sup>m</sup> represents H, methyl, CF<sub>3</sub>, methoxy, F or Cl; and

R<sup>ya</sup> represents H or methyl.

- 4. (original) A pharmaceutical formulation including a compound as defined in any one of Claims 1 to 3, or a pharmaceutically acceptable derivative thereof, in admixture with a pharmaceutically acceptable adjuvant, diluent or carrier.
- 5. (original) A compound as defined in any one of Claims 1 to 3, or a pharmaceutically acceptable derivative thereof, for use as a pharmaceutical.
- 6. (canceled).
- 7. (original) A method of treatment of a condition where inhibition of thrombin is beneficial, which method comprises administration of a therapeutically effective amount of a compound as defined in any one of Claims 1 to 3, or a pharmaceutically acceptable derivative thereof, to a person suffering from, or susceptible to, such a condition.

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- 8. (original) A process for the preparation of a compound of formula I as defined in Claim 1, which comprises:
- (a) for compounds of formula I in which the group G represents
  - (i)  $C(O)N(R^{8a})-[CH(C(O)R^9)]_{0-1}-C_{0-3}$  alkylene- $(Q^1)_a$ -,
  - (ii)  $C(O)N(R^{8b})-C_{2-3}$  alkenylene- $(Q^1)_a$ -,
  - (iii)  $C(O)N(R^{8b})$ - $C_{2-3}$  alkynylene- $(Q^1)_a$ -,

(iv)

$$N(R^{8c})C_{0-2}$$
 alkylene— $Q^{2a}$ 

(v)

$$Q^{2a} Q^{2b}$$

wherein Q2a represents N or NHCH,

coupling of a compound of formula II,

$$\begin{array}{c|c}
R^2 & R^{3a} & R^{3b} \\
D & O & \\
R^2 & R^{3a} & R^{3b} \\
O & O & \\
R^3 & O & \\
O & O$$

wherein the dashed line, R<sup>1</sup>, R<sup>2</sup>, R<sup>3a</sup>, R<sup>3b</sup>, A, D and E are as defined in Claim 1, with a compound of formula III,

wherein L is as defined in Claim 1 and Ga represents

- (i)  $-N(R^{8a})-[CH(C(O)R^9)]_{0-1}-C_{0-3}$  alkylene- $(Q^1)_a$ -,
- (ii)  $-N(R^{8b})-C_{2-3}$  alkenylene- $(Q^1)_a$ -,
- (iii)  $-N(R^{8b})-C_{2-3}$  alkynylene- $(Q^1)_a$ -,

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$$N(R^{8c})C_{0-2}$$
 alkylene  $Q^{2a}$ 

(v)

$$\rightarrow$$
 Q<sup>2a</sup>  $\rightarrow$  Q<sup>2b</sup>  $\rightarrow$ 

wherein  $Q^{2a}$  represents N or NHCH and  $R^{8a}$ ,  $R^{8b}$ ,  $R^{8c}$ ,  $R^{9}$ ,  $Q^{1}$ ,  $Q^{2b}$  and a are as defined in Claim 1;

(b) for compounds of formula I in which G represents

and L represents  $L^a$ , which latter group represents L as defined in Claim 1, except that it does not represent  $C_0$  alkylene- $R^a$ , cyclisation of a compound of formula IV,

$$\begin{array}{c|c}
R^{2} & R^{3a} & R^{3b} \\
\hline
D & O & N \\
\hline
I & O & H_{2}N
\end{array}$$

$$\begin{array}{c|c}
CH_{2})_{0-4} & L^{a} \\
\hline
IV & NH \\
R^{1}$$

wherein  $L^a$  is as defined above and the dashed line,  $R^1$ ,  $R^2$ ,  $R^{3a}$ ,  $R^{3b}$ , A, D and E are as defined in Claim 1;

(c) for compounds of formula I in which R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> or R<sup>d</sup> represents -C(=NH)NH<sub>2</sub>,

-C(=NNH<sub>2</sub>)NH<sub>2</sub> or -C(=NOH)NH<sub>2</sub>, reaction of a compound of formula V,

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wherein  $L^b$  represents L as defined in Claim 1, except that  $R^a$ ,  $R^b$ ,  $R^c$  or  $R^d$  (as appropriate) is replaced by a cyano or  $-C(=NH)O-C_{1-4}$  alkyl group, and the dashed line,  $R^1$ ,  $R^2$ ,  $R^{3a}$ ,  $R^{3b}$ , A, D, E and G are as defined in Claim 1, with a suitable source of ammonia, hydrazine or hydroxylamine; (d) for compounds of formula I in which  $R^{13a}$ ,  $R^{13b}$  or  $R^{13c}$  represents H, deprotection of a corresponding compound of formula I in which  $R^{13a}$ ,  $R^{13b}$  or  $R^{13c}$  (as appropriate) represents  $C(O)O-CH_2$ aryl;

- (e) for compounds of formula I in which  $R^{14c}$  represents H, deprotection of a corresponding compound of formula I in which  $R^{14c}$  represents  $C(O)O-C_{1-6}$  alkyl;
- (f) reaction of a compound of formula VI,

wherein the dashed line, R<sup>2</sup>, R<sup>3a</sup>, R<sup>3b</sup>, A, D, E, G and L are as defined in Claim 1, with a compound of formula VII,

wherein Lg1 represents a leaving group and R1 and A are as defined in Claim 1;

(g) for compounds of formula I in which A represents C(O)NH, reaction of a compound of formula VI, as defined above, with a compound of formula VIII,

wherein R<sup>1</sup> is as defined in Claim 1;

(h) for compounds of formula I in which A represents  $C_{1\text{-}6}$  alkylene, reaction of a compound of

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formula VI, as defined above, with a compound of formula IX,

wherein R<sup>1</sup> is as defined in Claim 1, followed by reduction in the presence of a reducing agent; or (i) for compounds of formula I in which R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> or R<sup>d</sup> represents -C(=NCN)NH<sub>2</sub>, reaction of a corresponding compound of formula I in which R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> or R<sup>d</sup>, respectively, represents -C(=NH)NH<sub>2</sub> with cyanogen bromide.

9. (currently amended) A compound of formula II<del>, as defined in Claim 8,</del>

#### wherein:

the dashed line is absent or represents a bond;

A represents C(O),  $S(O)_2$ , C(O)O (in which latter group the O moiety is attached to  $R^1$ ), C(O)NH,  $S(O)_2NH$  (in which latter two groups the NH moiety is attached to  $R^1$ ) or  $C_{1-6}$  alkylene;

# R<sup>1</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, CN,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy and aryl),  $OR^{4a}$ ,  $S(O)_nR^{4b}$ ,  $S(O)_2N(R^{4c})(R^{4d})$ ,  $N(R^{4e})S(O)_2R^{4f}$ ,  $N(R^{4g})(R^{4h})$ ,  $B^1$ -C(O)- $B^2$ - $R^{4i}$ , aryl and  $Het^1$ ),
- (b)  $C_{3-10}$  cycloalkyl or  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo, =0, CN,  $C_{1-10}$  alkyl,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =0,  $C_{1-6}$

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 $\frac{alkyl, C_{1-6} \ alkoxy \ and \ aryl), OR^{4a}, S(O)_{\underline{n}}R^{4b}, S(O)_{\underline{2}}N(R^{4c})(R^{4d}), N(R^{4e})S(O)_{\underline{2}}R^{4f},}{N(R^{4g})(R^{4h}), B^3-C(O)-B^4-R^{4i}, \ aryl \ and \ Het^2,}$ 

- (c) aryl, or
- (d) Het<sup>3</sup>;

# R<sup>4a</sup> to R<sup>4i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, aryl and Het<sup>4</sup>),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, aryl and  $E^5$ ),
- (d) aryl or
- (e) Het<sup>6</sup>,

provided that R<sup>4b</sup> does not represent H when n is 1 or 2;

#### the group -D-E-

- (a) when the dashed line represents a bond, represents  $-C(R^{5a})=C(R^{5b})$ , or
- (b) when the dashed line is absent, represents  $-C(R^{6a})(R^{6b})-C(R^{7a})(R^{7b})-$ ;

 $R^{5a}$  and  $R^{5b}$  independently represent H, halo, OH,  $C_{1-4}$  alkyl,  $(CH_2)_{0-4}O(C_{1-3}$  alkyl) (which latter two groups are optionally substituted by one OH group or one or more F atoms);

R<sup>6a</sup>, R<sup>6b</sup>, R<sup>7a</sup> and R<sup>7b</sup> independently represent H, F or methyl;

or  $R^{5a}$  and  $R^{5b}$  together represent  $C_{2-4}$  *n*-alkylene;

or one of R<sup>6a</sup> and R<sup>6b</sup>, together with one of R<sup>7a</sup> and R<sup>7b</sup>, represents C<sub>1-4</sub> n-alkylene;

# R<sup>2</sup> represents

- (a) H,
- (b) halo;
- (c) C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>1-6</sub> alkoxy (which latter four groups are optionally

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substituted by one or more substituents selected from halo, OH, CN, C<sub>1-4</sub> alkoxy, C(O)OH, C(O)O-C<sub>1-4</sub> alkyl and OC(O)-C<sub>1-4</sub> alkyl or

- (d) together with  $R^{3a}$ ,  $R^2$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (e) together with R<sup>3a</sup> and R<sup>3b</sup>, R<sup>2</sup> represents T<sup>2</sup>-[C(H)=], wherein T<sup>2</sup> is bonded to the C-atom to which the group R<sup>2</sup> is attached;

 $R^{3a}$  and  $R^{3b}$  independently represent H, F or methyl (which latter group is optionally substituted by one or more F atoms), or

- (a) together with  $R^2$ ,  $R^{3a}$  represents  $C_{2-3}$  *n*-alkylene,  $T^1$ -( $C_{1-2}$  *n*-alkylene) or ( $C_{1-2}$  *n*-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (b) together with  $R^2$ ,  $R^{3a}$  and  $R^{3b}$  represent  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

T<sup>1</sup> and T<sup>2</sup> independently represent O, S, N(H) or N(C<sub>1-4</sub> alkyl);

each aryl independently represents a  $C_{6-10}$  carbocyclic aromatic group, which group may comprise either one or two rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH,  $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and  $Het^7$ ),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^8$ ),
- (e) OR<sup>17a</sup>,
- $(f) S(O)_p R^{17b}$ ,
- $(g) S(O)_2 N(R^{17c})(R^{17d}),$
- $(h) N(R^{17e})S(O)_2R^{17f},$

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- (i)  $N(R^{17g})(R^{17h})$ ,
- (j)  $B^5$ -C(O)- $B^6$ - $R^{17i}$ ,
- (k) phenyl (which latter group is optionally substituted by halo),
- (1) Het<sup>9</sup> and
- $(m) Si(R^{18a})(R^{18b})(R^{18c});$

R<sup>17a</sup> to R<sup>17i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{10}$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>11</sup>),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>12</sup>,

provided that R<sup>17b</sup> does not represent H when p is 1 or 2;

Het<sup>1</sup> to Het<sup>12</sup> independently represent 4- to 14-membered heterocyclic groups containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may comprise one, two or three rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter four groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH, C(O)O- $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>a</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>b</sup>),

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- (e) = 0,
- (f)  $OR^{19a}$ ,
- $(g) S(O)_q R^{19b}$ ,
- (h)  $S(O)_2N(R^{19c})(R^{19d})$ ,
- (i)  $N(R^{19e})S(O)_2R^{19f}$ ,
- $(j) N(R^{19g})(R^{19h}),$
- (k)  $B^7$ -C(O)- $B^8$ -R<sup>19i</sup>,
- (l) phenyl (which latter group is optionally substituted by halo),
- (m)Het<sup>c</sup> and
- (n)  $Si(R^{20a})(R^{20b})(R^{20c})$ ;

R<sup>19a</sup> to R<sup>19i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^d$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^e$ ),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>f</sup>,

provided that R<sup>19b</sup> does not represent H when q is 1 or 2;

Het<sup>a</sup> to Het<sup>f</sup> independently represent 5- or 6-membered heterocyclic groups containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may be substituted by one or more substituents selected from halo, =O and  $C_{1-6}$  alkyl;

B<sup>1</sup> to B<sup>8</sup> independently represent a direct bond, O, S or NH;

n, p and q independently represent 0, 1 or 2; and

 $\underline{R^{18a}}, R^{18b}, R^{18c}, R^{20a}, R^{20b}$  and  $R^{20c}$  independently represent  $\underline{C_{1-6}}$  alkyl or phenyl (which latter

group is optionally substituted by halo or C<sub>1-4</sub> alkyl);

### unless otherwise specified

- (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, and alkylene groups, as well as the alkyl part of alkoxy groups, may be substituted by one or more halo atoms, and
- (ii) cycloalkyl and cycloalkenyl groups may comprise one or two rings and may additionally be ring-fused to one or two phenyl groups;

or a protected derivative thereof.

10. (currently amended) A compound of formula IV, as defined in Claim 8,

$$\begin{array}{c|c}
R^{2} & R^{3a} & R^{3b} \\
\hline
D & & & \\
O & & & \\
N & & \\
N & & & \\
N & & \\$$

wherein

the dashed line is absent or represents a bond;

A represents C(O),  $S(O)_2$ , C(O)O (in which latter group the O moiety is attached to  $R^1$ ), C(O)NH,  $S(O)_2NH$  (in which latter two groups the NH moiety is attached to  $R^1$ ) or  $C_{1-6}$  alkylene;

### R<sup>1</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, CN,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy and aryl),  $OR^{4a}$ ,  $S(O)_nR^{4b}$ ,  $S(O)_2N(R^{4c})(R^{4d})$ ,  $N(R^{4e})S(O)_2R^{4f}$ ,  $N(R^{4g})(R^{4h})$ ,  $B^1$ -C(O)- $B^2$ - $R^{4i}$ , aryl and  $Het^1$ ),
- (b)  $C_{3-10}$  cycloalkyl or  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted

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by one or more substituents selected from halo, =O, CN,  $C_{1-10}$  alkyl,  $C_{3-10}$  cycloalkyl (optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy and aryl),  $OR^{4a}$ ,  $S(O)_nR^{4b}$ ,  $S(O)_2N(R^{4c})(R^{4d})$ ,  $N(R^{4e})S(O)_2R^{4f}$ ,  $N(R^{4g})(R^{4h})$ ,  $B^3$ -C(O)- $B^4$ - $R^{4i}$ , aryl and  $Het^2$ ,

- (c) aryl, or
- (d)  $Het^3$ ;

R<sup>4a</sup> to R<sup>4i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, aryl and Het<sup>4</sup>),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, aryl and  $E^5$ ),
- (d) aryl or
- (e) Het<sup>6</sup>,

provided that R<sup>4b</sup> does not represent H when n is 1 or 2;

#### the group -D-E-

- (a) when the dashed line represents a bond, represents  $-C(R^{5a})=C(R^{5b})$ -, or
- (b) when the dashed line is absent, represents  $-C(R^{6a})(R^{6b})-C(R^{7a})(R^{7b})$ -;

 $R^{5a}$  and  $R^{5b}$  independently represent H, halo, OH,  $C_{1-4}$  alkyl,  $(CH_2)_{0-4}O(C_{1-3}$  alkyl) (which latter two groups are optionally substituted by one OH group or one or more F atoms);

R<sup>6a</sup>, R<sup>6b</sup>, R<sup>7a</sup> and R<sup>7b</sup> independently represent H, F or methyl;

or R<sup>5a</sup> and R<sup>5b</sup> together represent C<sub>2-4</sub> *n*-alkylene;

or one of  $R^{6a}$  and  $R^{6b}$ , together with one of  $R^{7a}$  and  $R^{7b}$ , represents  $C_{1-4}$  *n*-alkylene;

# R<sup>2</sup> represents

(a) H,

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(b) halo;

- (c)  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{1-6}$  alkoxy (which latter four groups are optionally substituted by one or more substituents selected from halo, OH, CN,  $C_{1-4}$  alkoxy, C(O)OH,  $C(O)O-C_{1-4}$  alkyl and  $OC(O)-C_{1-4}$  alkyl) or
- (d) together with  $R^{3a}$ ,  $R^2$  represents  $C_{2-3}$ , n-alkylene,  $T^1$ -( $C_{1-2}$ , n-alkylene) or ( $C_{1-2}$ , n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (e) together with  $R^{3a}$  and  $R^{3b}$ ,  $R^2$  represents  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

R<sup>3a</sup> and R<sup>3b</sup> independently represent H, F or methyl (which latter group is optionally substituted by one or more F atoms), or

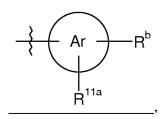
- (a) together with  $R^2$ ,  $R^{3a}$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (b) together with  $R^2$ ,  $R^{3a}$  and  $R^{3b}$  represent  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

 $\underline{T}^1$  and  $\underline{T}^2$  independently represent O, S, N(H) or N(C<sub>1-4</sub> alkyl);

## L<sup>a</sup> represents

- (a) C<sub>1-6</sub> alkylene-R<sup>a</sup>,
- (b) C<sub>0-2</sub> alkylene-CH=CH-C<sub>0-2</sub> alkylene-R<sup>a</sup>,
- (c)  $C_{0-2}$  alkylene- $C = C C_{0-2}$  alkylene- $R^a$ ,

<u>(d)</u>



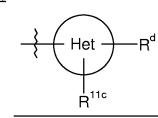
<u>(e)</u>

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$$\begin{array}{c} (CH_2)_{0-1} \\ R^{11b} \end{array}$$

wherein the dashed line represents an optional double bond, or

<u>(f)</u>



#### Ar represents phenyl or naphthyl;

Het represents a 5- to 10-membered heterocyclic group comprising one or two rings and containing, as heteroatom(s), one sulfur or oxygen atom and/or one or more nitrogen atoms;

 $R^{11a}$  represents H or one or more substituents selected from halo, OH, CN,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-4}$  alkoxy,  $C(O)OR^{12a}$  and  $C(O)N(R^{12b})R^{12c}$ ) and  $S(O)_{0-2}R^{12d}$ ;

 $R^{11b}$  and  $R^{11c}$  independently represent H or one or more substituents selected from halo, OH, CN,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-4}$  alkoxy,  $C(O)OR^{12a}$  and  $C(O)N(R^{12b})R^{12c}$ ),  $S(O)_{0-2}R^{12d}$ , =O, =NH, =NOH and =N-CN;

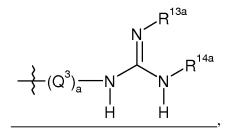
 $R^{12a}$  to  $R^{12c}$  independently represent H,  $C_{1-6}$  alkyl or  $C_{3-7}$  cycloalkyl (which latter two groups are optionally substituted by one OH or  $N(R^{12e})R^{12f}$  group or by one or more halo atoms);

 $R^{12d}$  represents, independently at each occurrence,  $C_{1-6}$  alkyl optionally substituted by one OH or  $N(R^{12e})R^{12f}$  group or by one or more halo atoms;

 $R^{12e}$  and  $R^{12f}$  represent, independently at each occurrence, H or  $C_{1-4}$  alkyl optionally substituted by one or more halo atoms;

# Ra to Rd independently represent

<u>(a)</u>



<u>(b)</u>

$$\begin{array}{c}
 & R^{13b} \\
 & R^{14b} \\
 & R^{14b}
\end{array}$$

<u>(c)</u>

$$C_{0-3}$$
 alkylene $-N$ 

<u>(d)</u>

<u>(e)</u>

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

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<u>(f)</u>

(g) Het<sup>x</sup>

or R<sup>b</sup> to R<sup>d</sup> may also represent H;

 $Q^3$  represents O,  $N(R^{10c})$ ,  $S(O)_2$ ,  $S(O)_2NH$ , C(O) or -CH=N-;

 $Q^4$  represents O, S or CH<sub>2</sub>;

a represents 0 or 1;

Het<sup>x</sup> represents a 5- or 6-membered heterocyclic group containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic group may be substituted by one or more substituents selected from halo, =0,  $C_{1-6}$  alkyl and  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more halo atoms);

# R<sup>13a</sup> to R<sup>13c</sup> independently represent

- (a) H,
- (b) CN,
- (c)  $NH_2$ ,
- (d) OR<sup>15</sup> or
- (e) C(O)OR<sup>16</sup>;

# R<sup>15</sup> represents

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl,
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl, or
- (d)  $C_{1-3}$  alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

## R<sup>16</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl, which latter three groups are optionally interrupted by one or more oxygen atoms, or
- (b)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl, or
- (c)  $C_{1-3}$  alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

# $\underline{R}^{10c}$ and $\underline{R}^{14a}$ to $\underline{R}^{14g}$ independently represent

- (a) H or
- (b)  $C_{1-4}$  alkyl (which latter group is optionally substituted by one or more substituents selected from halo and OH),
- or  $R^{14a}$  and  $R^{14b}$  independently represent  $C(O)O-C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),

# or R<sup>14c</sup> represents

- (a)  $C_{1-4}$  alkyl substituted by  $C_{3-7}$  cycloalkyl or aryl,
- (b) C<sub>3-7</sub> cycloalkyl,
- (c) C(O)O-C<sub>1-6</sub> alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),
- (d)  $C(O)C_{1-6}$  alkyl,
- (e) C(O)N(H)-C<sub>1-6</sub> alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms) or
- (f)  $S(O)_2$ - $C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),
- or  $R^{14c}$  and  $R^{14d}$  together represent  $C_{3-6}$  n-alkylene optionally interrupted by O, S, N(H) or N( $C_{1-4}$  alkyl) and/or substituted by one or more  $C_{1-4}$  alkyl groups;

each aryl independently represents a  $C_{6-10}$  carbocyclic aromatic group, which group may comprise either one or two rings and may be substituted by one or more substituents selected from

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- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH,  $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>7</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>8</sup>),
- (e) OR<sup>17a</sup>,
- $(f) S(O)_p R^{17b}$ ,
- (g)  $S(O)_2N(R^{17c})(R^{17d})$ ,
- (h)  $N(R^{17e})S(O)_2R^{17f}$ ,
- (i)  $N(R^{17g})(R^{17h})$ ,
- (j)  $B^5-C(O)-B^6-R^{17i}$ ,
- (k) phenyl (which latter group is optionally substituted by halo),
- (1) Het<sup>9</sup> and
- (m)Si( $R^{18a}$ )( $R^{18b}$ )( $R^{18c}$ );

R<sup>17a</sup> to R<sup>17i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{10}$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{11}$ ),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>12</sup>,

provided that R<sup>17b</sup> does not represent H when p is 1 or 2;

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Het<sup>1</sup> to Het<sup>12</sup> independently represent 4- to 14-membered heterocyclic groups containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may comprise one, two or three rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c) C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl (which latter four groups are optionally substituted by one or more substituents selected from halo, OH, C<sub>1-6</sub> alkoxy, C(O)OH, C(O)O-C<sub>1-6</sub> alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>a</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^b$ ),
- (e) = 0,
- (f) OR<sup>19a</sup>,
- $(g) S(O)_q R^{19b}$ ,
- (h)  $S(O)_2N(R^{19c})(R^{19d})$ ,
- (i)  $N(R^{19e})S(O)_2R^{19f}$ ,
- $(i) N(R^{19g})(R^{19h}).$
- $(k) B^7 C(O) B^8 R^{19i}$
- (l) phenyl (which latter group is optionally substituted by halo),
- (m)Het<sup>c</sup> and
- $(n) Si(R^{20a})(R^{20b})(R^{20c});$

 $\underline{R}^{19a}$  to  $\underline{R}^{19i}$  independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^d$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl

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(which latter group is optionally substituted by halo) and Het<sup>e</sup>),

(d) phenyl (which latter group is optionally substituted by halo) or

(e) Het<sup>f</sup>,

provided that R<sup>19b</sup> does not represent H when q is 1 or 2;

Het to Het independently represent 5- or 6-membered heterocyclic groups containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may be substituted by one or more substituents selected from halo, =O and  $C_{1-6}$  alkyl;

B<sup>1</sup> to B<sup>8</sup> independently represent a direct bond, O, S or NH;

n, p and q independently represent 0, 1 or 2;

 $R^{18a}$ ,  $R^{18b}$ ,  $R^{18c}$ ,  $R^{20a}$ ,  $R^{20b}$  and  $R^{20c}$  independently represent  $C_{1-6}$  alkyl or phenyl (which latter group is optionally substituted by halo or  $C_{1-4}$  alkyl);

### unless otherwise specified

- (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, and alkylene groups, as well as the alkyl part of alkoxy groups, may be substituted by one or more halo atoms, and
- (ii) cycloalkyl and cycloalkenyl groups may comprise one or two rings and may additionally be ring-fused to one or two phenyl groups;

or a protected derivative thereof.

11. (currently amended) A compound of formula VI, as defined in Claim 8,

#### wherein

the dashed line is absent or represents a bond;

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the group -D-E-

- (a) when the dashed line represents a bond, represents  $-C(R^{5a})=C(R^{5b})$ -, or
- (b) when the dashed line is absent, represents  $-C(R^{6a})(R^{6b})-C(R^{7a})(R^{7b})$ -;

 $R^{5a}$  and  $R^{5b}$  independently represent H, halo, OH,  $C_{1-4}$  alkyl,  $(CH_2)_{0-4}O(C_{1-3}$  alkyl) (which latter two groups are optionally substituted by one OH group or one or more F atoms);

R<sup>6a</sup>, R<sup>6b</sup>, R<sup>7a</sup> and R<sup>7b</sup> independently represent H, F or methyl;

or R<sup>5a</sup> and R<sup>5b</sup> together represent C<sub>2-4</sub> n-alkylene;

or one of R<sup>6a</sup> and R<sup>6b</sup>, together with one of R<sup>7a</sup> and R<sup>7b</sup>, represents C<sub>1-4</sub> n-alkylene;

# R<sup>2</sup> represents

- (a) H,
- (b) halo;
- (c)  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{1-6}$  alkoxy (which latter four groups are optionally substituted by one or more substituents selected from halo, OH, CN,  $C_{1-4}$  alkoxy, C(O)OH,  $C(O)O-C_{1-4}$  alkyl and  $OC(O)-C_{1-4}$  alkyl) or
- (d) together with  $R^{3a}$ ,  $R^2$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (e) together with  $R^{3a}$  and  $R^{3b}$ ,  $R^2$  represents  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

R<sup>3a</sup> and R<sup>3b</sup> independently represent H, F or methyl (which latter group is optionally substituted by one or more F atoms), or

- (a) together with  $R^2$ ,  $R^{3a}$  represents  $C_{2-3}$  n-alkylene,  $T^1$ -( $C_{1-2}$  n-alkylene) or ( $C_{1-2}$  n-alkylene)- $T^1$ , which latter three groups are optionally substituted by halo, or
- (b) together with  $R^2$ ,  $R^{3a}$  and  $R^{3b}$  represent  $T^2$ -[C(H)=], wherein  $T^2$  is bonded to the C-atom to which the group  $R^2$  is attached;

 $\underline{T}^1$  and  $\underline{T}^2$  independently represent O, S, N(H) or N(C<sub>1-4</sub> alkyl);

#### G represents

(a)  $-C(O)N(R^{8a})-[CH(C(O)R^9)]_{0-1}-C_{0-3}$  alkylene- $(Q^1)_{a-1}$ 

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(b)  $-C(O)N(R^{8b})-C_{2-3}$  alkenylene- $(Q^1)_{a-1}$ 

<u>(c)</u>

$$[N(R^{8c})C_{0-2} \text{ alkylene}]_{0-1}Q^{2a}Q^{2b}$$

(d)

 $R^9$  represents H or a 5- to 10-membered aromatic heterocyclic group comprising one or two rings and containing, as heteroatom(s), one sulfur or oxygen atom and/or one or more nitrogen atoms, which heterocyclic group is optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl;

 $Q^1$  represents O,  $NR^{10a}$ ,  $[N(H)]_{0-1}C(O)-C_{0-2}$  alkylene, C(O)NHNHC(O), or  $-N=C(R^{10b})$ -; a represents 0 or 1;

Q<sup>2a</sup> represents

$$\frac{1}{2}$$
C $\frac{1}{2}$ ,  $\frac{1}{2}$ N-C $\frac{1}{2}$ Or  $\frac{1}{2}$ N

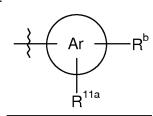
Q<sup>2b</sup> represents

#### L represents

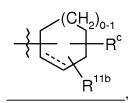
- (a) C<sub>0-6</sub> alkylene-R<sup>a</sup>,
- (b) C<sub>0-2</sub> alkylene-CH=CH-C<sub>0-2</sub> alkylene-R<sup>a</sup>,
- (c)  $C_{0-2}$  alkylene- $C \equiv C C_{0-2}$  alkylene- $R^a$ ,

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(d)

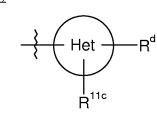


<u>(e)</u>



wherein the dashed line represents an optional double bond, or

<u>(f)</u>



Ar represents phenyl or naphthyl;

Het represents a 5- to 10-membered heterocyclic group comprising one or two rings and containing, as heteroatom(s), one sulfur or oxygen atom and/or one or more nitrogen atoms;

 $\frac{R^{11a} \text{ represents H or one or more substituents selected from halo, OH, CN, C}_{1-6} \text{ alkyl, C}_{1-6} \text{ alkoxy}}{\text{(which latter two groups are optionally substituted by one or more substituents selected from halo, OH, C}_{1-4} \text{ alkoxy, C(O)OR}^{12a} \text{ and C(O)N(R}^{12b})(R^{12c}) \text{ and S(O)}_{0-2} R^{12d};}$ 

 $R^{11b}$  and  $R^{11c}$  independently represent H or one or more substituents selected from halo, OH, CN,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-4}$  alkoxy,  $C(O)OR^{12a}$  and  $C(O)N(R^{12b})(R^{12c})$ ,  $S(O)_{0-2}R^{12d}$ , =O, =NH, =NOH and =N-CN;

 $R^{12a}$  to  $R^{12c}$  independently represent H,  $C_{1-6}$  alkyl or  $C_{3-7}$  cycloalkyl (which latter two groups are optionally substituted by one OH or  $N(R^{12e})R^{12f}$  group or by one or more halo atoms);  $R^{12d}$  represents, independently at each occurrence,  $C_{1-6}$  alkyl optionally substituted by one OH or

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 $N(R^{12e})R^{12f}$  group or by one or more halo atoms;

 $R^{12e}$  and  $R^{12f}$  represent, independently at each occurrence, H or  $C_{1-4}$  alkyl optionally substituted by one or more halo atoms;

# Ra to Rd independently represent

<u>(a)</u>

<u>(b)</u>

<u>(c)</u>

$$C_{0-3}$$
 alkylene $-N$ 

<u>(d)</u>

<u>(e)</u>

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

<u>(f)</u>

(g) Het<sup>x</sup>

or R<sup>b</sup> to R<sup>d</sup> may also represent H;

 $Q^3$  represents O,  $N(R^{10c})$ ,  $S(O)_2$ ,  $S(O)_2NH$ , C(O) or -CH=N-;

Q<sup>4</sup> represents O, S or CH<sub>2</sub>;

a represents 0 or 1;

Het<sup>x</sup> represents a 5- or 6-membered heterocyclic group containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic group may be substituted by one or more substituents selected from halo, =O,  $C_{1-6}$  alkyl and  $C_{1-6}$  alkoxy (which latter two groups are optionally substituted by one or more halo atoms);

 $\underline{R}^{13a}$  to  $\underline{R}^{13c}$  independently represent

- (a) H,
- (b) CN,
- (c) NH<sub>2</sub>,
- (d) OR<sup>15</sup> or
- (e) C(O)OR<sup>16</sup>;

R<sup>15</sup> represents

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl,
- (c) C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, which latter two groups are optionally substituted by

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one or more substituents selected from halo and C<sub>1-6</sub> alkyl, or

(d)  $C_{1-3}$  alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

### R<sup>16</sup> represents

- (a)  $C_{1-10}$  alkyl,  $C_{3-10}$  alkenyl,  $C_{3-10}$  alkynyl, which latter three groups are optionally interrupted by one or more oxygen atoms, or
- (b)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, which latter two groups are optionally substituted by one or more substituents selected from halo and  $C_{1-6}$  alkyl, or
- (c) C<sub>1-3</sub> alkyl, which latter group is optionally interrupted by oxygen and is substituted by aryl or -O-aryl;

# R<sup>8a</sup> to R<sup>8c</sup>, R<sup>10a</sup> to R<sup>10c</sup> and R<sup>14a</sup> to R<sup>14g</sup> independently represent

- (a) H or
- (b) C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more substituents selected from halo and OH),
- or  $R^{14a}$  and  $R^{14b}$  independently represent  $C(O)O-C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),

# or R<sup>14c</sup> represents

- (a) C<sub>1-4</sub> alkyl substituted by C<sub>3-7</sub> cycloalkyl or aryl,
- (b)  $C_{3-7}$  cycloalkyl,
- (c) C(O)O-C<sub>1-6</sub> alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms),
- (d)  $C(O)C_{1-6}$  alkyl,
- (e) C(O)N(H)-C<sub>1-6</sub> alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms) or
- (f)  $S(O)_2$ - $C_{1-6}$  alkyl (the alkyl part of which latter group is optionally substituted by aryl and/or one or more halo atoms).
- or  $R^{14c}$  and  $R^{14d}$  together represent  $C_{3-6}$  n-alkylene optionally interrupted by O, S, N(H) or N( $C_{1-4}$  alkyl) and/or substituted by one or more  $C_{1-4}$  alkyl groups;

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each aryl independently represents a  $C_{6-10}$  carbocyclic aromatic group, which group may comprise either one or two rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH,  $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>7</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>8</sup>),
- (e)  $OR^{17a}$ ,
- $(f) S(O)_p R^{17b}$ ,
- $(g) S(O)_2N(R^{17c})(R^{17d}),$
- (h)  $N(R^{17e})S(O)_2R^{17f}$ ,
- $(i) N(R^{17g})(R^{17h})$
- (j)  $B^5$ -C(O)- $B^6$ - $R^{17i}$ ,
- (k) phenyl (which latter group is optionally substituted by halo),
- (l) Het<sup>9</sup> and
- (m)Si( $R^{18a}$ )( $R^{18b}$ )( $R^{18c}$ );

# R<sup>17a</sup> to R<sup>17i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{10}$ ),
- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^{11}$ ),
- (d) phenyl (which latter group is optionally substituted by halo) or

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(e) Het<sup>12</sup>,

provided that R<sup>17b</sup> does not represent H when p is 1 or 2;

Het<sup>7</sup> to Het<sup>12</sup> independently represent 4- to 14-membered heterocyclic groups containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may comprise one, two or three rings and may be substituted by one or more substituents selected from

- (a) halo,
- (b) CN,
- (c)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter four groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, C(O)OH, C(O)O- $C_{1-6}$  alkyl, phenyl (which latter group is optionally substituted by halo) and Het<sup>a</sup>),
- (d)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and  $Het^b$ ),
- (e) = 0,
- (f) OR<sup>19a</sup>,
- $(g) S(O)_q R^{19b}$ ,
- $(h) S(O)_2N(R^{19c})(R^{19d}),$
- (i)  $N(R^{19e})S(O)_2R^{19f}$ ,
- (j)  $N(R^{19g})(R^{19h})$ ,
- $(k) B^7 C(O) B^8 R^{19i}$
- (l) phenyl (which latter group is optionally substituted by halo),
- (m)Het<sup>c</sup> and
- $(n) Si(R^{20a})(R^{20b})(R^{20c});$

R<sup>19a</sup> to R<sup>19i</sup> independently represent, at each occurrence,

- (a) H,
- (b)  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl (which latter three groups are optionally substituted by one or more substituents selected from halo, OH,  $C_{1-6}$  alkoxy, phenyl

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(which latter group is optionally substituted by halo) and Het<sup>d</sup>),

- (c)  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl (which latter two groups are optionally substituted by one or more substituents selected from halo, OH, =O,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, phenyl (which latter group is optionally substituted by halo) and Het<sup>e</sup>),
- (d) phenyl (which latter group is optionally substituted by halo) or
- (e) Het<sup>f</sup>,

provided that R<sup>19b</sup> does not represent H when q is 1 or 2;

Het<sup>a</sup> to Het<sup>f</sup> independently represent 5- or 6-membered heterocyclic groups containing one to four heteroatoms selected from oxygen, nitrogen and/or sulfur, which heterocyclic groups may be substituted by one or more substituents selected from halo, =O and  $C_{1-6}$  alkyl;

B<sup>5</sup> to B<sup>8</sup> independently represent a direct bond, O, S or NH;

n, p and q independently represent 0, 1 or 2;

 $R^{18a}$ ,  $R^{18b}$ ,  $R^{18c}$ ,  $R^{20a}$ ,  $R^{20b}$  and  $R^{20c}$  independently represent  $C_{1-6}$  alkyl or phenyl (which latter group is optionally substituted by halo or  $C_{1-4}$  alkyl);

#### unless otherwise specified

- (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkylene and alkenylene groups, as well as the alkyl part of alkoxy groups, may be substituted by one or more halo atoms, and
- (ii) cycloalkyl and cycloalkenyl groups may comprise one or two rings and may additionally be ring-fused to one or two phenyl groups;

or a protected derivative thereof.